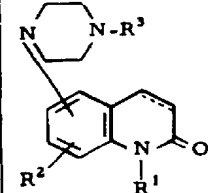


62119 K/26 B02 SAKA 11.11.81
 OTSUKA PHARM KK *J5 8083-677
 11.11.81-JP-181360 (19.05.83) A61k-31/49 C07d-215/38
 Carbostyryl derivatives - having positive inotropic action, useful as
 cardiotonics

B(6-D3, 12-E2, 12-F1) 3

097

C83-060275 Carbostyryl derivs. of formula (I) and their
 salts are new:



(I)

(R¹ is H, lower alkyl, lower
 alkenyl, lower alkynyl or phenyl-
 lower alkyl;
 R² is H or lower alkoxy;
 R³ is H, lower alkanoyl, furoyl,
 pyridylcarbonyl, lower alkane-
 sulphonyl, lower alkoxy carbonyl,
 lower alkoxy carbonyl-lower alkyl,

phenylsulphonyl (opt. having
 lower alkyl as substitution gp. on phenyl ring), lower alkyl,
 lower alkenyl, lower alkynyl, phenylcarbonyl, phenyl-lower
 alkyl or phenyl-lower alkanoyl;
 the phenyl ring of the above phenylcarbonyl, phenyl-lower

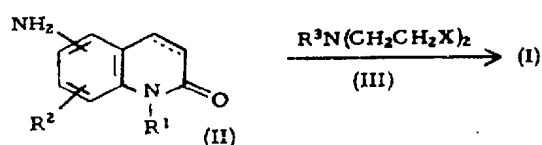
alkyl and phenyl-lower alkanoyl may be substd. by lower
 alkylendioxy or 1-3 gps. selected from lower alkoxy,
 halogen, lower alkyl, cyano, nitro, amino, OH, lower
 alkanoylamino, lower alkylthio and lower alkanoyloxy).

USES

(I) have myocardial hypersystole action (positive ino-
 tropic action) and coronary hyperkinemic action. They are
 useful as cardiotonics for therapy of cardiopathy such as
 congestive heart failure.

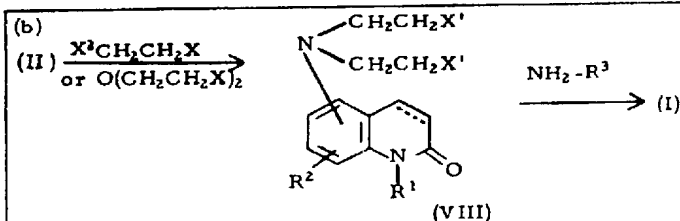
PREPARATION

(a)

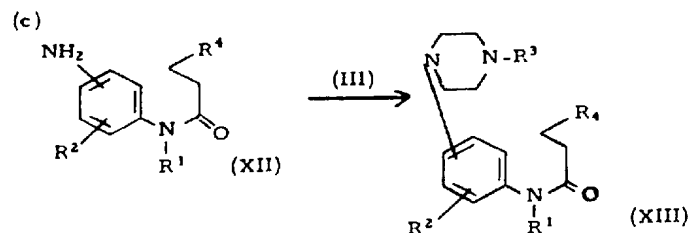


(X is halogen, lower alkanesulphonyloxy, arylsulphonyloxy,
 aralkylsulphonyloxy or OH).

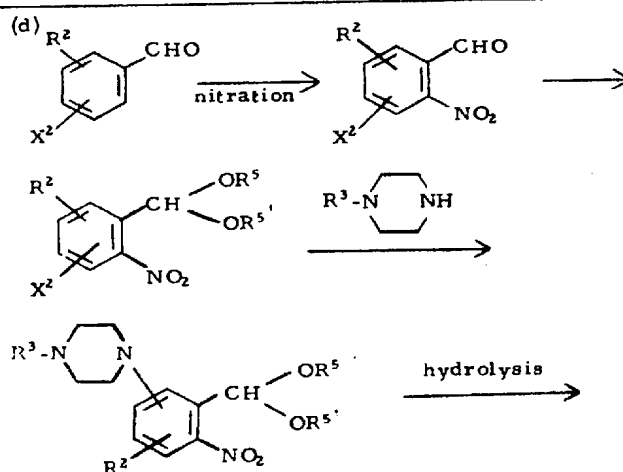
J58083677+



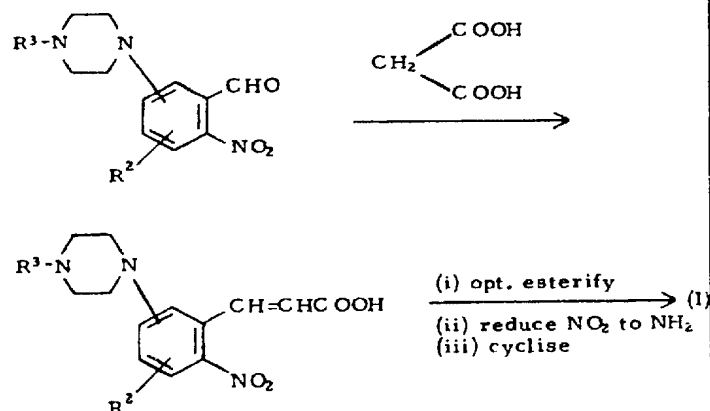
(X² is halogen; X' is as X, or the two X' gps. together
 form O).



cyclise \rightarrow (I; 3(4) eatd.)



J58083677+



(R⁵ and R^{5'} are alkyl or together form alkylene).

(e) Various interconversions are possible. E.g. (I; R³ = H)
 may be acylated or alkylated etc., (I; R¹ = H) may be alkyl-
 ated or the 3(4) bond may be converted by (de)hydrogenation

(50ppW69DwgNo0/0).

62119K/26(3)

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